```
FILE 'HOME' ENTERED AT 14:48:23 ON 09 NOV 2010

=> file CAPlus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'CAPLUS' ENTERED AT 14:49:06 ON 09 NOV 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 9 Nov 2010  VOL 153 ISS 20
FILE LAST UPDATED: 8 Nov 2010  (20101108/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Aug 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s macrolide
         12418 MACROLIDE
          9738 MACROLIDES
L1       16440 MACROLIDE
                (MACROLIDE OR MACROLIDES)

=> s l1 and bridge?
        165346 BRIDGE?
L2          93 L1 AND BRIDGE?

=> s l2 and erythromycin
         23491 ERYTHROMYCIN
           605 ERYTHROMYCINS
         23555 ERYTHROMYCIN
                (ERYTHROMYCIN OR ERYTHROMYCINS)
L3          26 L2 AND ERYTHROMYCIN

=> dis l3 1-26 bib abs

L3   ANSWER 1 OF 26  CAPLUS  COPYRIGHT 2010 ACS on STN
AN   2010:1069481  CAPLUS <<LOGINID::20101109>>
DN   153:334319
```

TI Preparation of bridged biaryl amide macrolide 6,11-bicyclolide derivatives for therapeutic use as anti-inflammatory and antibacterial prodrugs

IN Kim, In Jong; Phan, Ly Tam; Or, Yat Sun

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 32pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

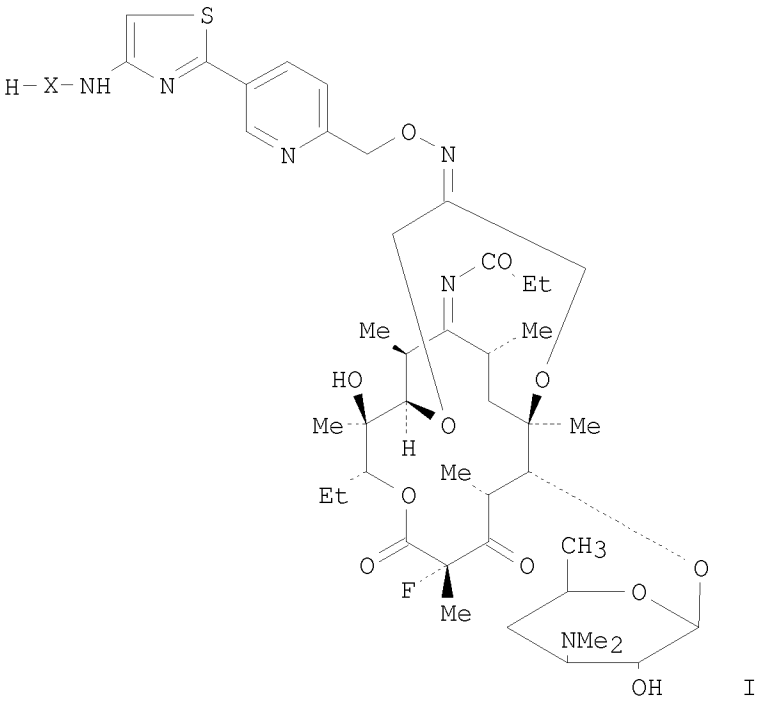
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2010096051	A1	20100826	WO 2009-US34407	20090218

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI WO 2009-US34407 20090218

GI



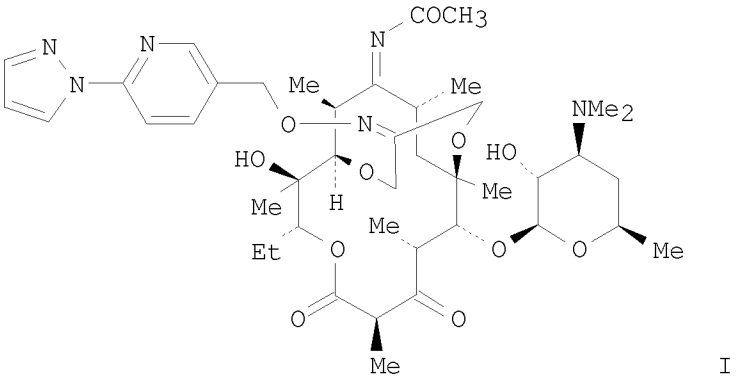
I

AB This invention disclosed macrolide 6,11-bicyclolide derivs. I (X = L-Lys, L-Gln) and pharmaceutically acceptable salts thereof which exhibit antibacterial properties in vivo. The present invention further

relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further include process by which to make the compds. of the present invention.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:553892 CAPLUS <<LOGINID::20101109>>
DN 153:11392
TI An Efficient Large-Scale Synthesis of EDP-420, a First-in-Class Bridged Bicyclic Macrolide (BBM) Antibiotic Drug Candidate
AU Xu, Guoyou; Tang, Datong; Gai, Yonghua; Wang, Guoqiang; Kim, Heejin; Chen, Zhigang; Phan, Ly T.; Or, Yat Sun; Wang, Zhe
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Organic Process Research & Development (2010), 14(3), 504-510
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 153:11392
GI



I

AB A multistep, practical, and cost-effective synthesis of novel bridged bicyclic macrolide drug candidate EDP-420 (I) is described. Starting from inexpensive and com. available erythromycin A 9-oxime, the current chemical process involves a series of transformations: triacetylation, Pd-catalyzed O,O-bis-allylation (bridge formation), acid-catalyzed sugar cleavage, oxime reduction, acetylation, Os-catalyzed bridge olefin oxidative cleavage, Corey-Kim oxidation, bridge oxime formation, deprotection, and final purification Multikilogram quantities have been synthesized.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1326111 CAPLUS <<LOGINID::20101109>>
DN 151:491348

TI Preparation of 2'-O-3'-N-bridged erythromycin macrolides as antiinflammatory agents

IN Bukvic-Krajacic, Mirjana; Hutinec, Antun; Kragol, Goran; Kujundzic, Nedjeljko; Marusic-Istuk, Zorica

PA GlaxoSmithKline Istrazivacki Centar Zagreb D.O.O., Croatia

SO PCT Int. Appl., 87pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009130189	A1	20091029	WO 2009-EP54685	20090420

PI WO 2009130189 A1 20091029 WO 2009-EP54685 20090420

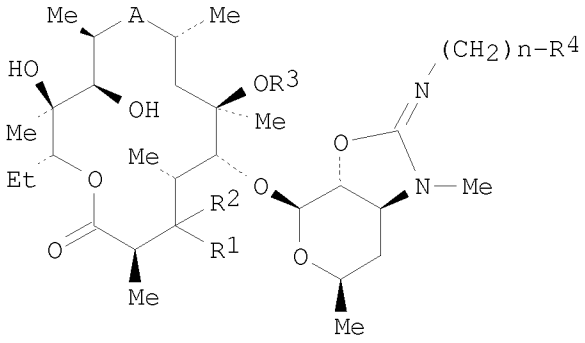
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2008-47216P P 20080423

OS MARPAT 151:491348

GI



I

AB Preparation of 2'-O-3'-N-bridged erythromycin macrolides I, wherein A is a bivalent radical selected from CO, N(R5)CH2, CH2N(R5), NHCO, CONH, CH(OH), C(=NOH); R1 is α-L-cladinosyl; R2 is H, R3 is H, alkyl; R4 is alkyl, alkylamino, aryl, heterocyclic, bicyclic heterocyclic, heteroaryl; R5 is H, alkyl, were prepared and used as antiinflammatory agents. Title macrolides were used for the treatment of neutrophil dominated inflammatory diseases resulting from neutrophilic infiltration and/or diseases associated with altered cellular functionality of neutrophils selected from chronic obstructive pulmonary disease, cystic fibrosis, diffuse panbronchiolitis, bronchiolitis obliterans, bronchitis, bronchiectasis, adult respiratory distress syndrome, severe or steroid-resistant asthma, emphysema, chronic rhinosinusitis, rheumatoid arthritis, gouty arthritis, inflammatory bowel disease, glomerulonephritis, damage from ischemic reperfusion, atherosclerosis, psoriasis, vasculitis, systemic lupus erythematosus,

systemic inflammatory response syndrome, sepsis, ischemia-reperfusion injury, rosacea, periodontitis, gingival hyperplasia and prostatitis syndrome. Thus, N'-benzyl-2'-O,3'-N-(carbonimidoyl)-3'-N-demethyl-9-deoxo-9a-methyl-9a-aza-9a-homo-erythromycin was prepared and tested in mice as antiinflammatory agent. And showed more than 50 % inhibition of edema applied topically once in a dose 500pg/ear. Title compds. exhibit 40 % or more inhibition of interleukin-6 (IL-6) production in LPS-stimulated splenocytes treated by the compound at 50 μM or/and 25 μM concentration

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:645054 CAPLUS <<LOGINID::20101109>>
DN 151:163036
TI C-9 Alkenylidine bridged macrolides: WO2008061189
AU Poce, Giovanna; Porretta, Giulio Cesare; Biava, Mariangela
CS Dipartimento di Chimica e Tecnologie del Farmaco, Sapienza University of Rome, Rome, 00185, Italy
SO Expert Opinion on Therapeutic Patents (2009), 19(6), 901-906
CODEN: EOTPEG; ISSN: 1354-3776
PB Informa Healthcare
DT Journal; General Review
LA English
AB A review. Ketolides, which represent the third generation of erythromycin A derivs., were developed as a result of the need for new and potent antibacterial agents. This class of compds. has a significantly improved pharmacokinetic profile and, above all, shows activity against macrolide-resistant strains. When compared with other macrolides, ketolide structural differences are characterized by the removal of the 3-O-cladinose moiety and by a heteroaryl-alkyl side chain attached to the macrocycle by a flexible linker. The bridged bicyclic ketolides (BBK) are one of the three classes of ketolide; the present application from Enanta Pharmaceuticals, Inc. discloses a series of novel C-9 alkenylidine bridged macrolides belonging to BBK. These compds. are 3,6- and 6,11-bicyclolides, which have the alkenylidine second anchor portion attached to C-9 of the mol.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:615961 CAPLUS <<LOGINID::20101109>>
DN 150:555879
TI Use of bridged macrolides or tylosin derivatives in treating inflammatory bowel diseases
IN Phan, Ly Tam; Or, Yat Sun
PA Enanta Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20090131343	A1	20090521	US 2008-270967	20081114
	WO 2009064953	A1	20090522	WO 2008-US83502	20081114

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,

PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-988257P P 20071115
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 150:555879
AB The invention provides methods utilizing bridged macrolide or tylosin derivs. for the treatment of patients with inflammatory bowel diseases. The methods of the invention provide for the administration to a patient of a therapeutically effective amount of a bridged macrolide or a tylosin derivative, pharmaceutically acceptable derivs. thereof, and combinations thereof for a period of time sufficient to obtain a desired alleviation of one or more symptoms of the inflammatory bowel disease.

L3 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1410170 CAPLUS <<LOGINID::20101109>>
DN 150:144767
TI Synthesis of 3,6-bicyclolides: A novel class of macrolide antibiotics
AU Gai, Yonghua; Tang, Datong; Xu, Guoyou; Chen, Zhigang; Polemeropoulos, Alexander; Wang, Zhe; Or, Yat Sun
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Bioorganic & Medicinal Chemistry Letters (2008), 18(24), 6315-6318
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 150:144767
AB The synthesis of 3,6-bicyclolides from erythromycin A oxime is described. This novel class of bridged bicyclic macrolides demonstrates potent in vitro and in vivo activities against a broad spectrum of bacteria including resistant respiratory tract pathogens.
OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1017158 CAPLUS <<LOGINID::20101109>>
DN 149:426170
TI Descladinosyl erythromycin in phosgene-assisted cyclic 3,6-ether formation
AU Heggelund, Audun; Undheim, Kjell
CS Department of Chemistry, University of Oslo, Oslo, N-0315, Norway
SO Tetrahedron Letters (2008), 49(39), 5569-5571
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 149:426170
AB Erythromycin A has been converted into a 3,6-bridged ether via a C-3 chloroformate by nucleophilic addition of the hydroxyl function at C-6. Further transformations afforded N-demethyl-3-O-descladinosylerythromycin A 2',3'-carbamate-11,12-carbonate-3,6-ether in 59% overall yield over four reaction steps from (9E)-erythromycin A 9-(O-allyloxime). In

conclusion, we have shown that a 3,6-bridged ether structure is formed when a 3-O-descladinosylerythromycin A derivative, with a free hydroxy group at C-6, is treated with phosgene. The cyclization is rationalized as an intramol. nucleophilic displacement of the intermediate chlorocarbonate of the 3-hydroxy group. The antibacterial activities of title compds.were measured against Staphylococcus aureus ATCC 25923 and Escherichia coli ATCC 25922. The compds. were inactive within the limits of the anal.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:620269 CAPLUS <<LOGINID::20101109>>
DN 148:586081
TI Preparation of C-9 alkenylidine bridged macrolides for use as prodrugs in antibiotic therapeutic agents
IN Phan, Ly Tam; Qiu, Yao-Ling; Or, Yat Sun
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 137pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008061189	A1	20080522	WO 2007-US84831	20071115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080119418	A1	20080522	US 2007-940766	20071115
US 7622452	B2	20091124		
PRAI US 2006-859440P	P	20061116		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 148:586081; MARPAT 148:586081
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB C-9 alkenylidine bridged macrolides I and II, wherein T is an (un)substituted alkylene, alkylketo, alkylimine, alkylester, alkylthioether bridge; A or B can be taken together with the carbon atom attached to be an (un)substituted alkene or alkylimine, or A or B is one or the other consisting of hydrogen and an (un)substituted ether; L can be alkyl, alkenyl, alkynyl, or heteroaryl groups; W can be hydrogen, L as stated above, ketones, esters or amides; Q can be hydrogen, aryl, cycloalkyl groups, or L as stated above; Z can be hydrogen, azido, cyano, nitro, amide, carboxy, aldehydo, esters, etc.; when U is hydrogen, V can be hydrogen, ethers, carbamates, sulfones, glycosyl or O linked

disaccharides; alternatively, U and V can be taken together to be an oxo group; X and Y are independently hydrogen, hydroxy, halo, or L stated above; G can be hydrogen, hydroxy, or an (un)substituted ether; alternatively, G and W can be a cyclic propylidene or cyclic carbamate are prepared Thus, III was prepared and employed as a C-9 alkenylidine bridged macrolide for use as prodrugs in antibiotic therapeutic agents (no data). Further I and II are versatile pharmaceutically acceptable salts, esters or prodrugs for treating bacterial infections such as cystic fibrosis.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:127976 CAPLUS <<LOGINID::20101109>>
DN 148:192155
TI Preparation of erythromycin bridged carbamate macrolides as antibacterial agents
IN Kim, Heejin; Phan, Ly Tam; Or, Yat Sun
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008014221	A2	20080131	WO 2007-US74157	20070724
	WO 2008014221	A3	20081120		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 20080027012	A1	20080131	US 2007-781985	20070724
PRAI	US 2006-832809P	P	20060724		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 148:192155; MARPAT 148:192155
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Erythromycin bridged carbamate macrolides, e.g. I, wherein R is H, hydroxyl protecting group; R1 and R2 are independently selected from the group consisting of hydrogen, acyl, a substituted or unsubstituted, saturated or unsatd. aliphatic group, a substituted or unsubstituted, saturated or unsatd. alicyclic group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted heteroarom. group, saturated or unsatd. heterocyclic group; or can be taken together with the nitrogen atom to which they are attached to form a substituted or unsubstituted; A is R5; R5 is alkylene, alkenylene, alkynylene containing

hetero-atom selected from O, S, N; R5-X1-R6; X1 is carbonyl, substituted imine; R6 is independently selected from R5, substituted ester, substituted thio-ester, substituted alkylidene; X and Y are independently H, halogen, protected OH, O-acyl, alkoxy, substituted N; XY taken together with the carbon to which they are attached is CO, substituted oxy-imine; U and V are independently H, OH, protected OH, alkoxy, alkyl, alkenyl , alkynyl, acyl, ester, sulfonyl, sugar residue; R3 and R4 are independently H, halogen, alkyl, alkenyl , alkynyl, O-alkyl, O-alkenyl , O-alkynyl; Z is H, azido, cyano, nitro, aldehyde, COOH, CONH2; Q is H, protected OH, alkoxy, O-alkyl, O-alkenyl, O-alkynyl; L is alkyl, alkenyl , alkynyl; The present invention discloses compds. of formulas (I) and (II) or pharmaceutically acceptable salts, esters, or prodrugs thereof: which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, glycoside II as prepared and tested as antibacterial agent. The invention further provides compns. and methods of treating patients suffering from an inflammatory condition comprising administering to a patient in need thereof, a therapeutically effective amount of at least one compound of the invention. Specific examples of inflammatory conditions treatable according to the invention include, but are not limited to scleritis; epi-scleritis; allergic conjunctivitis; pulmonary inflammatory diseases, particularly cystic fibrosis (CF), asthma, chronic obstructive pulmonary disease (COPD), allergic bronchopulmonary aspergillosis (ABPA), and sarcoidosis; procto-sigmoiditis; allergic rhinitis; arthritis; tendonitis; apthous stomatitis; and inflammatory bowel disease.

L3 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:1155907 CAPLUS <<LOGINID::20101109>>
DN 149:332535
TI Synthesis of 9-(acetylimino)-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-6,11-O-(1,3-propanediyl) erythromycin 2'-acetate
AU Liang, Qun; Chen, Shiqing; Chen, Jiren
CS Hubei Biocause Pharmaceutical Co., Ltd., Jingmen, Hubei Province, 448000, Peop. Rep. China
SO Jingxi Huagong Zhongjianti (2006), 36(2), 21-23
CODEN: JHZIAR; ISSN: 1009-9212
PB Jingxi Huagong Zhongjianti Zazhishe
DT Journal
LA Chinese
OS CASREACT 149:332535
AB A bridged imine acetamide (erythromycin derivative) was synthesized via several synthetic steps, such as acetylation, bridge formation, reduction, etc., using erythromycin A oxime as the starting material. The total yield of the product was 28%. The above-mentioned bridged imine acetamide was used to produce a new type of antibiotic derivs. via the removal of a cladinose sugar residue from said from macrolide and joining the 6 and 11 position on the macrolide ring. The target compound could overcome drug tolerance and had enhanced antibacterial activity (no data).

L3 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:1121499 CAPLUS <<LOGINID::20101109>>
DN 147:427649
TI Preparation of 3,6-bridged 9,12-oxolide erythromycin analogs as antibacterial agents

IN Or, Yat Sun; Niu, Deqiang; Wang, Zhe
PA Emata Pharmaceuticals, Inc, USA
SO U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20070232554	A1	20071004	US 2006-435401	20060516
US 7407942	B2	20080805		
PRAI US 2006-786867P	P	20060329		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 147:427649; MARPAT 147:427649
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention discloses the preparation of 3,6-bridged 9,12-oxolide erythromycin analogs I, wherein R1 is H, D, Me, allyl, CH2OH, aryl, alkyl, alkenyl, alkynyl; R2 is H, OH; when R1 is H, R2 is H, OH, N3, NH2, CN, heterocycle, AR3; A is O, OCOO, S, SO, SO2, NH, NMe, NHCO, CHCOO, NHCONH, NHSO2; R3 is H, aryl,heteroaryl, alkyl, alkenyl, alkynyl; X and Y are independently H, OH, N3, NH2, CN, heterocycle, AR3; XY together with the carbon which they are attached form CO, substituted oxime; B is substituted N; V is H, azido, cyano, nitro, aldehyde, carboxylic acid, amide, aliphatic; Q is H, protected OH, OH, O-aryl, O-alkyl, O-alkynyl, O-alkenyl, O-cycloalkyl; L is Et, CH(OH)Me, alkyl, alkenyl, alkynyl; Rx is H, hydroxy protecting group; or pharmaceutically acceptable salts, esters, or prodrugs which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, erythromycin analog II was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 μg/mL to about 0.03 μg/mL. According to the methods of treatment of the present invention, bacterial infections, cystic fibrosis and inflammatory conditions are treated or prevented in a patient such as a human or another animal by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result.
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:409633 CAPLUS <<LOGINID::20101109>>
DN 146:380246
TI Preparation of erythromycin analogs 6,11-bridged tricyclic macrolides as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Liu, Tongzhu; Phan, Ly Tam
PA Enanta Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 45 pp.
CODEN: USXXCO
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070082853	A1	20070412	US 2006-545241	20061010
	US 7589067	B2	20090915		
	WO 2007044927	A2	20070419	WO 2006-US40243	20061012
	WO 2007044927	A3	20070614		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI	US 2005-725937P	P	20051012	
	US 2006-545241	A	20061010	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 146:380246; MARPAT 146:380246
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Erythromycin analogs 6,11-bridged tricyclic macrolides I, wherein R is hydrogen, hydroxy protecting group or hydroxy prodrug group; R1 and R2 are independently R3; R1 and R2 can be taken together with the nitrogen atom to which they are attached to form a substituted or unsubstituted heterocyclic ring; A is -J-R3, where J is absent or is selected from the group consisting of: O, OC(O), C(O), S(O)n, NH, NH(CO), NH(CO)NH, or NHS(O)n where n = 0-2 and R3 is absent or is a substituted or unsubstituted alkylene, alkenylene or alkynylene optionally containing one or more heteroatoms selected from O, S or N; L is : Et, CH(OH)CH3, alkyl, alkenyl, alkynyl; Q is H, protected hydroxyl, OH, O-aryl, O-cycloalkyl; U and V are independently H, OH, acyl, ester, sulfonyl, sugar residue; W is OH, substituted amine, alkoxy; Z is n3, CN, NO2, CONH2, COOH, CHO, R3, ester, substituted acyl. amide; X and Y are independently H, halogen, R3; were prepared as antibacterial agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, title II was prepared and tested as antibacterial agent. According to the methods of treatment of the present invention, bacterial infections, cystic fibrosis and inflammatory conditions are treated or prevented in a patient such as a human or another animal by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result.

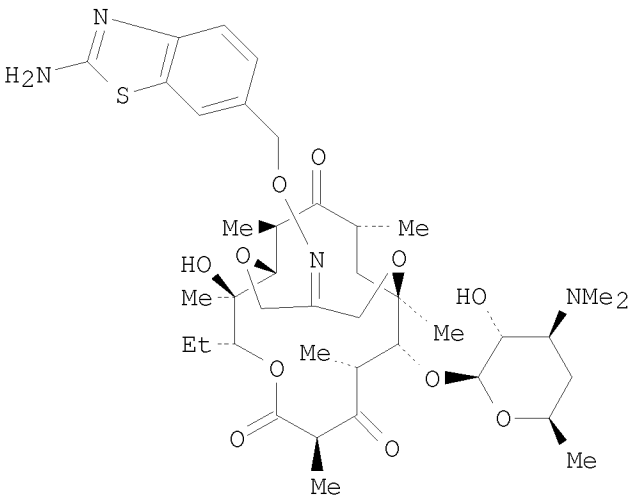
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:325759 CAPLUS <<LOGINID::20101109>>
DN 146:481941
TI Azalides from azithromycin to new azalide derivatives
AU Mutak, Stjepan
CS Medicinal Chemistry and Chemical Process Development, PLIVA Research Institute, Zagreb, 10090, Croatia
SO Journal of Antibiotics (2007), 60(2), 85-122
CODEN: JANTAJ; ISSN: 0021-8820
PB Japan Antibiotics Research Association
DT Journal; General Review
LA English
AB A review. Azalides are semi-synthetic macrolides, in which a nitrogen atom is introduced into a macrolactone ring via a Beckmann rearrangement. Starting from erythromycin, oximes, depending on the reaction conditions lactams, or bicyclic-imino-ethers were formed, which were further reduced to aminolactones. The cyclic amine 9a- became the precursor for novel, significantly more active derivs., especially for 9-dihydro-9-deoxo-9a-methyl-9a-aza-9a-homoerythromycin A with the generic name azithromycin. It showed a broad spectrum of antibacterial activity covering all significant bacteria causing respiratory tract infections. The greatest advantages of azithromycin are its unusual pharmacokinetics (high tissue distribution), metabolic stability and high tolerability. These properties have led in recent years to the widespread use of the azalide scaffold for the synthesis of new compds. with advantageous pharmacokinetics. The azalide scaffold possesses an amino and several hydroxyl groups, which could be substituted or transformed to obtain new compds. Different derivs. were obtained by substitution on the nitrogen but a large variety of derivs., such as ethers, esters and carbamates, were made by reactions with various hydroxyl groups. Substitutions on both nitrogen and hydroxyl or two hydroxyl groups yielded new, bridged compds. The 4''-hydroxy group was oxidized to 4-oxo-, which was transformed via the oxime to 4-amino, or via epoxide to 4''-methylamino compds. Cleavage of the cladinose sugar and further transformations gave 3-acyl or 3-oxo compds., which were less active than 14-membered acylides or ketolides. Beckmann rearrangement of some 16-membered macrolide oximes yielded only 17-membered lactams, which were less active than starting macrolides, and could not be reduced to amines. Intramol. rearrangement of azalide imino-ethers yielded 13-membered azalides. Some new 11a-azalides were obtained after oxidative cleavage of some 16-membered macrolides and addnl. cyclisation.
OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
RE.CNT 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:1177354 CAPLUS <<LOGINID::20101109>>
DN 145:489502
TI Preparation of 6-11 bridged oxime erythromycin derivatives for use as antibacterial and antibiotic prodrugs
IN Wang, Guoqiang; Phan, Ly Tam; Or, Yat Sun; Qiu, Yao-Ling; Niu, Deqiang; Peng, Yulin; Busuyek, Marina; Wang, Yanchun; Nakajima, Suanne
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2006119313 A2 20061109 WO 2006-US16882 20060502
WO 2006119313 A3 20070222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
US 20060252710 A1 20061109 US 2005-122251 20050504
AU 2006242188 A1 20061109 AU 2006-242188 20060502
CA 2605295 A1 20061109 CA 2006-2605295 20060502
EP 1885737 A2 20080213 EP 2006-769971 20060502
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
JP 2008540432 T 20081120 JP 2008-510145 20060502
BR 2006010477 A2 20100622 BR 2006-10477 20060502
US 20060252712 A1 20061109 US 2006-416609 20060503
US 7384922 B2 20080610
IN 2007DN07961 A 20071109 IN 2007-DN7961 20071016
CN 101166749 A 20080423 CN 2006-80013970 20071025
MX 2007013730 A 20080128 MX 2007-13730 20071101
US 20080262208 A1 20081023 US 2008-123874 20080520
US 20100041618 A1 20100218 US 2009-543155 20090818
PRAI US 2005-122251 A 20050504
US 2005-677675P P 20050504
WO 2006-US16882 W 20060502
US 2006-416609 A1 20060503
US 2008-123874 A1 20080520
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 145:489502; MARPAT 145:489502
GI



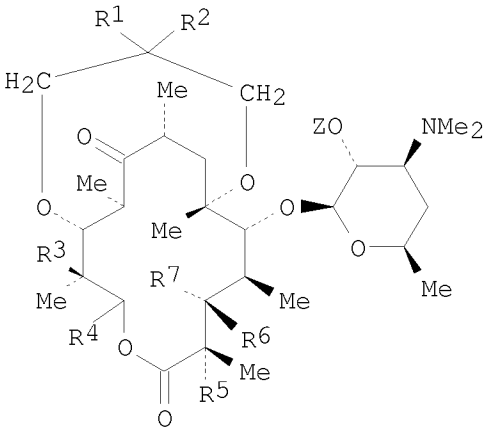
I

AB 6-11 Bridged oxime erythromycin derivs. such as I are prepared as antibacterial and antibiotic prodrugs. Further, I was prepared and tested against various gram neg. bacterial such as S. aureus, S. pneumoniae and S. pyogenes (MIC between 0.06 and 4 μg/mL.). Title compds. can also be used in the treatment of cystic fibrosis, inflammation, or in combination therapy as antibacterial agents.
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:845175 CAPLUS <<LOGINID::20101109>>
DN 145:271996
TI Process for the deoximation of erythromycin oximes to 6-11 bridged bicyclic ketolides
IN Heggelund, Audun
PA Alpharma Aps, Den.
SO PCT Int. Appl., 22pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006087238	A1	20060824	WO 2006-EP1673	20060221
	WO 2006087238	A9	20061005		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006215709	A1	20060824	AU 2006-215709	20060221
	CA 2598139	A1	20060824	CA 2006-2598139	20060221
	EP 1856136	A1	20071121	EP 2006-723097	20060221
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2008530169	T	20080807	JP 2007-555553	20060221
	CN 101124236	A	20080213	CN 2006-80005568	20070821
PRAI	DK 2005-262	A	20050221		
	WO 2006-EP1673	W	20060221		

OS CASREACT 145:271996; MARPAT 145:271996
GI



I

AB A process such that 6-11 bridged bicyclic ketolide or erythromycin oximes I, wherein Z is H, acyl, alkanoyl or acetyl; R1 and R2 independently is H, alkyl, or taken together as =CH2 or alkylidene; R3-R5 and R7 independently are H or alkyl; R6 is OH, glycosyl, or taken together with R7 is =O are converted to 6-11 bridged bicyclic ketolides or erythromycins comprises reacting a 6-11 bridged macrolide with a deoximating agent, preferably an oxidative deoximating agent such as Dess-Martin periodinane is presented. The procedure may comprise deoximation of certain erythromycin A C-9 oxime derivs. with regeneration of the C-9 keto function. Thus, II (R1 and R2 are taken as =CH2, R3 is OH, R4 is Et, R5 is H, R6 and R7 are =O and Z is Ac) was prepd using 2-Iodoxybenzoic acid or Dess-Martin periodinane as the deoximating agent.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:962271 CAPLUS <<LOGINID::20101109>>
DN 143:230147
TI Preparation of bridged macrocyclic erythromycin and azithromycin compounds via palladium-catalyzed alkylation and cyclization reactions
IN Or, Yat Sun
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005080408	A1	20050901	WO 2004-US1907	20040123

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI WO 2004-US1907 20040123
OS CASREACT 143:230147; MARPAT 143:230147
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bridged macrocyclic erythromycin and azithromycin compds. I, wherein L is H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; U or V is sugar residue; U and V taken together with the carbon atom to which they are attached form CO, alkylidene; R is H, acyl, silane, hydroxy protecting group; X and Y taken together with the carbon atom to which they are attached form CO, imine, oxime; X1 is H, halogen; were prepared via palladium-catalyzed alkylation and cyclization reactions. Thus, macrolide azithromycin II was prepared via palladium-catalyzed alkylation and cyclization reactions.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:714462 CAPLUS <<LOGINID::20101109>>
DN 144:412784
TI 3-O-acyl derivatives of bridged-15-membered azalides: Synthesis, structural determination and antibacterial activity
AU Fajdetic, Andrea; Kobrehel, Gabrijela; Lazarevski, Gorjana; Stimac, Vlado; Mutak, Stjepan
CS PLIVA - Research Institute, Ltd., Zagreb, 10000, Croatia
SO Croatica Chemica Acta (2005), 78(2), 301-312
CODEN: CCACAA; ISSN: 0011-1643
PB Croatian Chemical Society
DT Journal
LA English
OS CASREACT 144:412784
AB The synthesis, structural determination and biol. evaluation of 15-membered azalides acylated at the C-3 position are described. 3-Descladinosyl-9a,11-cyclic carbamate of the 9a-aza-9a-homoerythromycin A and their 12-O-alkyl derivs. were synthesized via acidic hydrolysis of adequate 3-cladinosyl analogs. Protections of 2'-hydroxyl group were performed to furnish starting compds. for acylation of the C-3-hydroxyl group. After deprotection various 3-O-acyl derivs. were obtained and their structures confirmed by spectroscopic methods (IR, MS, NMR). The new compds. were evaluated in vitro against a panel of Gram-pos. and Gram-neg. bacteria and their activities compared with those of parent derivs. The 3-O-acyl derivs. exhibited improved antibacterial activity, but it was lower than by standard macrolides.
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:304995 CAPLUS <<LOGINID::20101109>>
DN 143:282411
TI First description of Curtobacterium spp. isolated from human clinical specimens
AU Funke, Guido; Aravena-Roman, Max; Frodl, Reinhard
CS Department of Medical Microbiology and Hygiene, Gaertner & Colleagues Laboratories, Weingarten, Germany
SO Journal of Clinical Microbiology (2005), 43(3), 1032-1036
CODEN: JCMIDW; ISSN: 0095-1137

PB American Society for Microbiology
DT Journal
LA English
AB During a 4-yr period, five strains (three of which were doubtless clin. significant) of yellow- or orange-pigmented, oxidative, slowly acid-producing coryneform bacteria were recovered from human clin. specimens in two reference labs. or referred to them. The strains were motile, catalase pos., nitrate reductase neg., and urease neg., but strongly hydrolyzed esculin. In all reference and clin. strains described in the present study, anteisopentadecanoic (C15:0ai) and anteisoheptadecanoic (C17:0ai) acids represented more than 75% of all cellular fatty acids except in one clin. strain and in Curtobacterium pusillum, in which both the unusual o-cyclohexyl fatty acid (identified as C18:1o7cis/o9cis/o12trans by the Sherlock system) represented more than 50% of all cellular fatty acids. In all clin. strains, ornithine was the diamino acid of the cell wall, the interpeptide bridge consisted of ornithine, and acetyl was the acyl type of the peptidoglycan. Therefore, the five clin. strains were unambiguously identified as Curtobacterium spp. Analyses of the complete 16S rRNA genes of the five clin. strains with homologies to the established Curtobacterium species ranging from 99.2 to 100% confirmed the identifications as Curtobacterium spp. Data on the antimicrobial susceptibility pattern of curtobacteria are reported, with macrolides and rifampin showing very low MICs for all strains tested. This report is the first on the isolation of Curtobacterium strains from human clin. specimens.
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:38025 CAPLUS <<LOGINID::20101109>>
DN 142:253741
TI Binding site of the bridged macrolides in the Escherichia coli ribosome
AU Xiong, Liqun; Korkhin, Yakov; Mankin, Alexander S.
CS Center for Pharmaceutical Biotechnology, University of Illinois, Chicago, IL, USA
SO Antimicrobial Agents and Chemotherapy (2005), 49(1), 281-288
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Ketolides represent the latest group of macrolide antibiotics. Tight binding of ketolides to the ribosome appears to correlate with the presence of an extended alkyl-aryl side chain. Recently developed 6,11-bridged bicyclic ketolides extend the spectrum of platforms used to generate new potent macrolides with extended alkyl-aryl side chains. The purpose of the present study was to characterize the site of binding and the action of bridged macrolides in the ribosomes of Escherichia coli. All the bridged macrolides investigated efficiently protected A2058 and A2059 in domain V of 23S rRNA from modification by di-Me sulfate and U2609 from modification by carbodiimide. In addition, bridged macrolides that carry extended alkyl-aryl side chains protruding from the 6,11 bridge protected A752 in helix 35 of domain II of 23S rRNA from modification by di-Me sulfate. Bridged macrolides efficiently displaced erythromycin from the ribosome in a competition binding assay. The A2058G mutation in 23S rRNA conferred resistance to the bridged macrolides. The U2609C mutation, which renders E. coli resistant to the previously studied ketolides telithromycin and cethromycin, barely affected cell

susceptibility to the bridged macrolides used in this study. The results of the biochem. and genetic studies indicate that in the E. coli ribosome, bridged macrolides bind in the nascent peptide exit tunnel at the site previously described for other macrolide antibiotics. The presence of the side chain promotes the formation of specific interactions with the helix 35 of 23S rRNA.

OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:890622 CAPLUS <<LOGINID::20101109>>
DN 142:56597
TI Synthesis of Novel 6,11-O-Bridged Bicyclic Ketolides via a Palladium-Catalyzed Bis-allylation
AU Wang, Guoqiang; Niu, Deqiang; Qiu, Yao-Ling; Phan, Ly Tam; Chen, Zhigang; Polemeropoulos, Alexander; Or, Yat Sun
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Organic Letters (2004), 6(24), 4455-4458
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:56597
AB A bridging chemical process was developed to form an ether bridge between 6-O and 11-O of erythromycin A via a tandem or stepwise palladium-catalyzed bis-π-allylation. By applying this bridging process, new 6,11-O-bridged bicyclic ketolides (BBKs) were synthesized. These BBKs showed good antibacterial activities against the macrolide-susceptible strains as well as mef-resistant strains and served as a good core for further modifications to study the structure-activity relationship (SAR) and to overcome bacterial resistance.
OSC.G 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:101000 CAPLUS <<LOGINID::20101109>>
DN 140:146397
TI Preparation of 6,11-4-carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents
IN Or, Yat Sun; Wang, Guogiang; Niu, Deqiang; Phan, Ly Tam
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004011009	A1	20040205	WO 2003-US20860	20030701

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

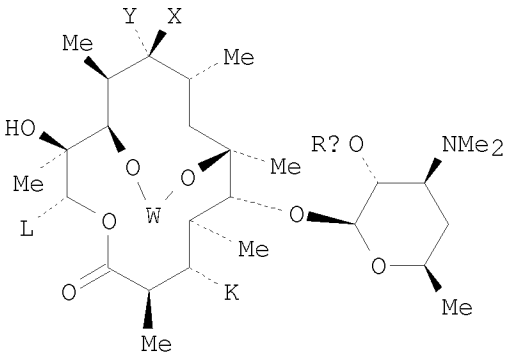
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 6753318	B1	20040622	US 2002-205357	20020725
	AU 2003247706	A1	20040216	AU 2003-247706	20030701
	CN 1910171	A	20070207	CN 2004-80040152	20040114
	US 20050009763	A1	20050113	US 2004-841249	20040507
	IN 2006DN03703	A	20070713	IN 2006-DN3703	20060628
	IN 235636	A1	20090731		
	IN 2009DN02067	A	20090515	IN 2009-DN2067	20090327
PRAI	US 2002-205357	A	20020725		
	WO 2003-US20860	W	20030701		
	WO 2004-US998	W	20040114		
	IN 2006-DN3703	A3	20060628		

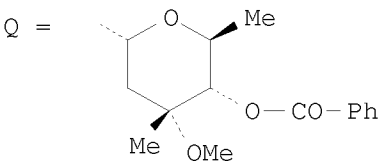
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 140:146397; MARPAT 140:146397

GI



I



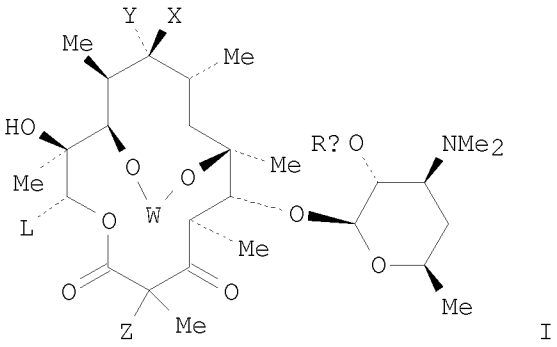
AB Novel 6,11-4-carbon bridged erythromycin ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group; K is H, alkoxy, ester, carbamate, sulfoxide, sugar residue; pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are methods for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and processes for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=N-OH, L is Et, Rx = H; K is sugar residue Q) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:100793 CAPLUS <<LOGINID::20101109>>
DN 140:146396
TI Preparation of 6,11-4-carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Niu, Deqiang; Phan, Ly Tam
PA Enanra Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040023895	A1	20040205	US 2002-205018	20020725
	US 6841664	B2	20050111		
	WO 2004011477	A2	20040205	WO 2003-US20864	20030601
	WO 2004011477	A3	20040318		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003281694	A1	20040216	AU 2003-281694	20030601
	CN 1910171	A	20070207	CN 2004-80040152	20040114
	US 20050009761	A1	20050113	US 2004-763377	20040123
	US 20040266998	A1	20041230	US 2004-841206	20040507
	US 7049417	B2	20060523		
	IN 2006DN03703	A	20070713	IN 2006-DN3703	20060628
	IN 235636	A1	20090731		
	IN 2009DN02067	A	20090515	IN 2009-DN2067	20090327
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2002-205018	A	20020725		
	US 2002-205357	A2	20020725		
	US 2003-429485	A2	20030505		
	US 2003-436622	A2	20030513		
	WO 2003-US20864	W	20030601		
	US 2003-464188	A2	20030618		
	WO 2004-US998	W	20040114		
	IN 2006-DN3703	A3	20060628		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 140:146396
GI



I

AB Novel 6,11-4-carbon bridged ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group, pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and processes for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=NC(O)CH3, L is Et, Z = Rx = H) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated antibacterial activity in vitro with an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:332358 CAPLUS <<LOGINID::20101109>>
TI Design, synthesis, and antibacterial activity of 6,11-bridged erythromycin analogs.
AU Li, Leping; Rupp, Michael; Ma, Zhenkun; Griesgraber, George; Henry, Roger; Or, Yatsun; Chu, Daniel
CS Infectious Disease Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), ORGN-232 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CLAC
DT Conference; Meeting Abstract
LA English
AB Erythromycin and the second generation macrolide antibiotics, such as Clarithromycin and Azithromycin, have enjoyed tremendous clin. and com. success in treating various bacterial infections caused by gram-pos. pathogens. However, the emergence of macrolide resistant bacteria has accelerated the search for the next generation of macrolide antibiotics. To this end, a series of 6,11-linked erythromycin derivs., as represented by compds. 1 and 2, were designed with addnl. conformational rigidity and the exploitation of secondary binding interactions in mind. The syntheses of these compds. were built on the success of the effective functionalizations of the C-6 OH group recently reported from these labs. (37th ICAAC Posters F125 and F126, 1998). The macrocyclizations were

accomplished by intromol. lactonizations, Heck reactions, or ring closure olefin metatheses. The detailed synthesis, structure characterization, and the structure-activity relationship evaluation will be presented.

L3 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:220726 CAPLUS <<LOGINID::20101109>>
DN 132:237323
TI Preparation of 6,11-bridged erythromycins as bactericides
IN Or, Yat Sun; Griesgraber, George; Li, Leping; Chu, Daniel T.
PA Abbott Laboratories, USA
SO U.S., 29 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6046171	A	20000404	US 1998-158459	19980922
PRAI US 1997-63712P	P	19971029		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 132:237323
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

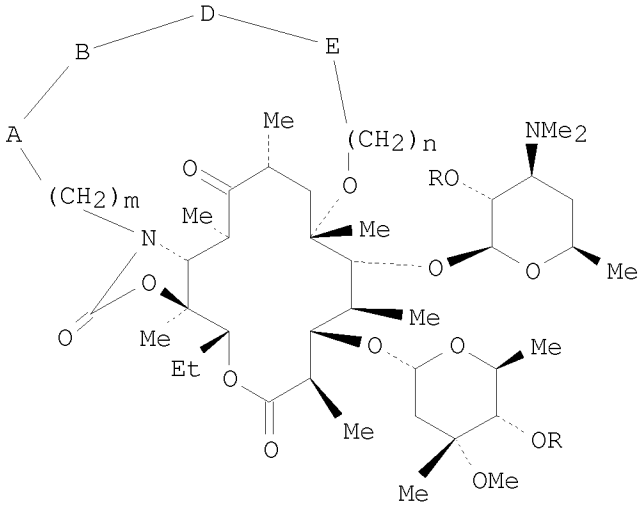
AB Macrolide 6,11-bridged erythromycins I wherein, m is 0-7; n is 0-4; R is independently hydrogen or a hydroxy protecting group at each occurrence; A is absent or is selected from the group consisting of -O-, and -N(R1)-, wherein R1 is hydrogen or C-C6-alkyl optionally substituted with aryl or heteroaryl; B is absent or is selected from the group consisting of -(CH)q-, wherein q is 0-6, -C(O)(CH2)q-, -C(O)O(CH2)q-, -C(O)NR1(CH2)q-, wherein R1 is as defined previously, and -N=CH-(CH2)-; -CH(OH)(CH2)q-, and -CH(OH)CH(OH)(CH2)q-; D is absent or is selected from the group consisting of alkenylene, arylene, substituted arylene, heteroarylene, substituted heteroarylene; alkenylene-arylene, arylene-arylene, substituted arylene-arylene, heteroarylene-arylene, substituted heteroarylene-arylene, alkenylene-heteroarylene, arylene-heteroarylene, substituted arylene-heteroarylene, heteroarylene-heteroarylene, and substituted heteroarylene-heteroarylene; E is absent or is selected from the group consisting of -(CH2)xCH=CH-, -(CH2)xO-, wherein x is 0-4, -(CH2)xNR1CH2CH(OH)-, wherein R1 is as defined previously, -(CH2)xC(O)O-, -(CH2)xNR1-, -(CH2)OC(O)-, -(CH2)xC(O)NR1- and -(CH2)xNR1C(O)-; FG is O; F = sugar residue L, G = H, were prepared as antibacterial agents. Thus I, 2'-R is H, 4"-R is acetyl, m is 2, A is NH, B is -C(O)-, D is 1,3-phenylene, E is -CH=CH-, n is 1 was prepared and tested for its antibacterial activity.
OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:299483 CAPLUS <<LOGINID::20101109>>
DN 130:312022
TI Preparation of 6,11-bridged erythromycins as antibacterial agents
IN Or, Yat Sun; Griesgraber, George; Li, Leping; Chu, Daniel T.
PA Abbott Laboratories, USA

SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9921864	A1	19990506	WO 1998-US22941	19981029
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9809848	A	19990429	ZA 1998-9848	19981028
	CA 2307828	A1	19990506	CA 1998-2307828	19981029
	AU 9912867	A	19990517	AU 1999-12867	19981029
	EP 1027361	A1	20000816	EP 1998-956314	19981029
	EP 1027361	B1	20030507		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	BR 9813317	A	20000822	BR 1998-13317	19981029
	HU 2000004323	A2	20010228	HU 2000-4323	19981029
	TR 2000001140	T2	20010521	TR 2000-1140	19981029
	JP 2001521038	T	20011106	JP 2000-517973	19981029
	AT 239750	T	20030515	AT 1998-956314	19981029
	PT 1027361	E	20030930	PT 1998-956314	19981029
	ES 2198766	T3	20040201	ES 1998-956314	19981029
	TW 486485	B	20020511	TW 1998-117981	19981130
	NO 2000002099	A	20000629	NO 2000-2099	20000425
	MX 2000004227	A	20001110	MX 2000-4227	20000428
	BG 104425	A	20010131	BG 2000-104425	20000511
PRAI	US 1997-960400	A	19971029		
	US 1998-158269	A	19980922		
	WO 1998-US22941	W	19981029		

OS MARPAT 130:312022
GI



I

AB Macrolide erythromycins I (m = 1-7; n = 1-4; R = H, OH protecting group; A = absent, O, NR1; R1 = H, alkyl; B = absent, alkylidene, keto, amide; D = absent, alkenyl, aryl, heteroaryl; E = absent, carbon chain or one of the carbon is replaced by O, NR1) were prepared as antibacterial agents. Thus, I (m = 3; n = 1; R = H; A, B, D, E = absent) was prepared and tested for its antibacterial activity (MICs = 0.03-100 μg/mL).

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1989:39320 CAPLUS <<LOGINID::20101109>>

DN 110:39320

OREF 110:6571a,6574a

TI Preparation of erythromycin derivatives and their pharmaceutical compositions for inhibiting virus replication and disease

IN Robinson, William S.

PA USA

SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW

DT Patent

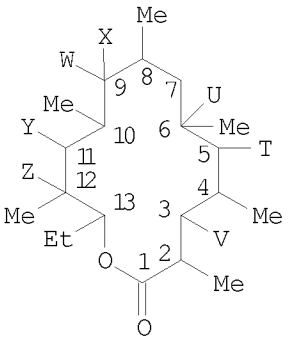
LA English

FAN.CNT 1

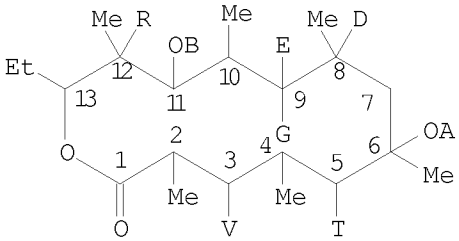
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 254534	A2	19880127	EP 1987-306445	19870721
	EP 254534	A3	19910417		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FI 8703128	A	19880125	FI 1987-3128	19870715
	JP 63107921	A	19880512	JP 1987-181266	19870722
	ZA 8705390	A	19881130	ZA 1987-5390	19870722
	DK 8703843	A	19880125	DK 1987-3843	19870723
	AU 8776055	A	19880128	AU 1987-76055	19870723
	HU 44439	A2	19880328	HU 1987-3398	19870723
PRAI	US 1986-889791	A	19860724		
	US 1986-948232	A	19861231		
	US 1987-3080	A	19870114		
	US 1987-69791	A	19870706		

OS MARPAT 110:39320

GI



I



II

AB The title compds. [I; T = OH or a pharmaceutically acceptable organic substituent attached to C5 through O; V = OH or a pharmaceutically acceptable organic substituent attached to C3 through O; U = H, OH, C1-10 alkoxy or acyloxy, or U at C6 and H at C7 are removed to form a double bond, or UX = an ether bridge; Y = H, OH, C1-10 alkoxy or acyloxy, OCH2SO2Me, OCH2SOMe, sulfate or sulfonate bonded to C11 through O; Y and H at C10 are removed to form a double bond or YW complete a 5- to 7-membered heterocyclic ring together with C9, C10, and C11 of the macrolide ring; Z, X, W = H, OH, C1-10 acyloxy or alkoxy; optionally XW = O or S, XU or WY as defined above, or Z and H of C13 form a double bond] and II [T, V = OH or a sugar residue; A = H, C1-10 acyloxy or OA and H at C6 are removed to form a double bond or AG = bond or a vinyl ether bridge; B = H, acyl, CH2SO2Me, CH2SMe; D = H, DE = a double bond, or EG = oximinoether where O is substituted with a C1-20 pharmaceutically acceptable organic substituent; R = H, OH], which inhibit virus replication and disease, were prepared To a solution of 86.84 g erythromycin A in MeOH was added 39.2 g MeONH2.HCl. After stirring for 10 min, 32.86 mL Et3N was added and the mixture was stirred for 20 h to give 34.7 gm crude erythromycin 9-O-methyloxime (III). Recrystn. of 34 g crude III using Cl2CH2 and Et2O gave 19.0 g pure III as a mixture of (E)- and (Z)-isomers which were separated by preparative HPLC on a C-18 column with the solvent system MeOH/0.1M (NH4)HCO3 (85/15). A T-cell line (VB) infected with human T-lymphotropic virus III was incubated with 20 μg/mL III in the tissue culture medium for 0-4 days. Virus particle-associated reverse-transcriptase and viral antigen (p-24) in the medium were reduced by 82% and 86%, resp.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

=>